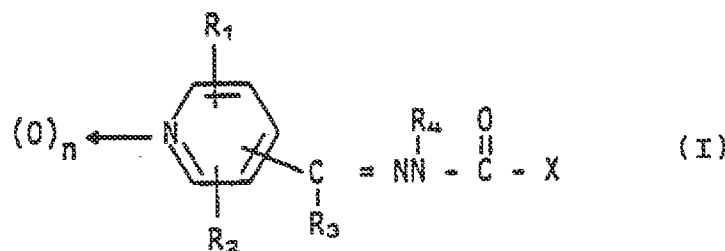




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<p>(51) International Patent Classification⁴ : C07D 213/53, 213/89, 405/12 C07D 405/06, 213/61, 213/88 C07D 213/87, 409/12 A01N 43/40</p>	A1	<p>(11) International Publication Number: WO 86/ 0458</p> <p>(43) International Publication Date: 14 August 1986 (14.08.86)</p>
<p>(21) International Application Number: PCT/US86/00072</p> <p>(22) International Filing Date: 23 January 1986 (23.01.86)</p> <p>(31) Priority Application Numbers: 700,375 715,425</p> <p>(32) Priority Dates: 11 February 1985 (11.02.85) 25 March 1985 (25.03.85)</p> <p>(33) Priority Country: US</p> <p>(60) Parent Applications or Grants (63) Related by Continuation US 700,375 (CIP) Filed on 11 February 1985 (11.02.85) US 715,425 (CIP) Filed on 23 March 1985 (23.03.85)</p> <p>(71) Applicant (for all designated States except US): THE UPJOHN COMPANY [US/US]; Kalamazoo, MI 49001 (US).</p>		<p>(72) Inventors; and (75) Inventors/Applicants (for US only): RECTOR, Douglas L. [US/US]; 6075 Litchfield Lane, Kalamazoo, MI 49009 (US). CONDER, George, A. [US/US]; 683 East 'F' Avenue, Richland, MI 49083 (US). FOLZ Sylvester, D. [US/US]; 6209 Enola Drive, Kalamazoo MI 49004 (US).</p> <p>(74) Agent: JAMESON, William, G.; Patent Law Depart- ment, The Upjohn Company, Kalamazoo, MI 4900 (US).</p> <p>(81) Designated States: AT (European patent), AU, BE (Eu- ropean patent), CH (European patent), DE (Euro- pean patent), DK, FR (European patent), GB (Euro- pean patent), IT (European patent), JP, LU (Euro- pean patent), NL (European patent), SE (Europea- n patent), US, US.</p> <p>Published With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</p>
<p>(54) Title: ANTHELMINTIC PYRIDINYL ACYLHYDRAZONES, METHOD OF USE AND COMPOSITIONS</p>		



(57) Abstract

Process for killing internal parasites, especially nematodes and cestodes affecting warm blooded animals such as sheep, cattle, swine, goats, dogs, cats, horses and humans as well as poultry by administering an effective amount of a compound of Formula (I). Certain of the compounds of Formula (I) are novel and in further embodiments of the invention provide novel compounds and compositions for use in the process of the invention. The compounds are readily prepared by conventional chemical reactions. Various pyridinyl acylhydrazones of Formula (I) demonstrate broad-spectrum anthelmintic activity in sheep upon oral and/or parental administration.

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TABLE A (cont'd)

	<u>C</u>	<u>a</u>	<u>n</u>	<u>R₁</u>	<u>R₂</u>	<u>R₃</u>	<u>R₄</u>	<u>X</u>	<u>m.p.</u>	<u>P</u>	<u>H</u>
	157	4	0	H	H	CH ₃	H	PhCH ₂	148.5	34	-
	158	4	0	H	H	CH ₃	H	2-Cl-4-NO ₂ Ph	232.2	2	-
5	159	4	0	H	H	CH ₃	H	<i>o</i> -C ₆ H ₁₁	195.3	41	-
	160	4	1	H	H	CH ₃	H	<i>o</i> -C ₆ H ₁₁ -CH ₂ CH ₂	183.1	3	-
	161	4	0	H	H	CH ₃	H	1-naphthyl	183.7	2	-
	162	4	0	H	H	CH ₃	H	2-naphthyl	206.5	2	-
	163	4	0	H	H	4-ClPh	H	CH ₃ CH ₂ O · HCl	216.9	42	-
10	164	4	0	H	H	H	H	1-naphthylCH ₂	185.2	2	-
	165	3	0	H	H	CH ₃	H	1-naphthylCH ₂	159.3	2	-
	166	4	0	H	H	CH ₃	H	1-naphthylCH ₂	168.6	2	-
	167	2	0	6-CH ₃	H	H	H	<i>o</i> -C ₆ H ₁₁	102.4	2	+
	168	2	0	6-CH ₃	H	H	H	CH ₃ CH ₂ CH ₂	115.1	2	-
15	169	2	0	6-CH ₃	H	H	H	(CH ₃) ₂ CH	99.2	2	-
	170	4	0	H	H	PhCH ₂	H	CH ₃ CH ₂ CH ₂	108.9	2	-
	171	4	1	H	H	CH ₃	H	1-naphthyl	251.7	2	-
	172	3	0	H	H	H	H	1-naphthyl (2 crops)	197.1	2	-
									199.5		
20	173	4	0	H	H	PhCH ₂	H	1-naphthyl	187.9	2	-
	174	3	0	H	H	CH ₃ CH ₂	H	3-pyridyl	163.5	2	-
	175	4	0	H	H	<i>n</i> -C ₄ H ₉	H	3-pyridyl	125.6	2	-
	176	4	0	H	H	<i>n</i> -C ₄ H ₉	H	2-thienyl	176.6	2	-
	177	4	0	H	H	CH ₃	H	2-thienyl	198.4	2	-
25	178	3	0	H	H	CH ₃	H	2-thienyl	159.8	2	-
	179	3	0	H	H	H	H	2-thienyl	223.9	2	-
	180	4	0	H	H	H	H	1-naphthyl	199.2	2	-
	181	4	0	H	H	H	H	2-thienyl	200.9	2	-
	182	4	0	H	H	H	H	3,4,5-(CH ₃ O) ₃ Ph	187.8	2	+
30	183	2	0	6-CH ₃	H	H	H	<i>o</i> -C ₄ H ₇	120.0	2	-
	184	2	0	6-CH ₃	H	H	H	CH ₃	156.9	2	-
	185	3	0	H	H	CH ₃ CH ₂	H	<i>o</i> -C ₄ H ₇	153.6	2	-
	186	3	0	H	H	CH ₃ CH ₂	H	1-naphthyl	166.7	2	-
	187	3	0	H	H	CH ₃	H	1-naphthyl	166.9	2	+
35	188	4	0	H	H	CH ₃	H	4-ClPh	182.0	2	-
	189	4	1	H	H	CH ₃	H	4-ClPh	224.0	2	-